	Table 4. Representative EGFR and/or VEGFR Inhibitors		
Entry	Name		
288	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(pyridin-2-ylmethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine		
289	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pent-2-yn-1-ylmorpholin-2-		
290	yl)methyl]oxy}quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(4-methylpiperazin-1-yl)-1,3-thiazol-4-		
	yl]methyl}oxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpyrrolidin-2-yl)-1,2,4-oxadiazol-		
291	3-yl]methyl}oxy)quinazolin-4-amine  N-(3-chloro-4-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
292	(methyloxy)quinazolin-4-amine 7-{[(4-butyl-1,4-oxazepan-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-(methyloxy)		
293	guinazolin-4-amine		
294	(3,4-dichlorophenyl)[7-(methyloxy)-6-({[4-(2-methylpropyl)-1,4-oxazepan-2-yl]methyl}oxy) quinazolin-4-amine		
295	7-{[(4-acetyl-1-ethylpiperazin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine		
296	(3,4-dichlorophenyl)(6-(methyloxy)-7-{[(4-pentyl-1,4-oxazepan-2-yl)methyl]oxy} quinazolin-4-amine		
297	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydro-2H-pyran-2-ylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine		
298	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(3-thienylmethyl)-1,4-oxazepan-2-yl]methyl}oxy) quinazolin-4-amine		
299	N-[4-chloro-2,5-bis(methyloxy)phenyl]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
300	(methyloxy)quinazolin-4-amine  N-(3-bromo-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
301	(methyloxy)quinazolin-4-amine 7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)-N-(3,4,5-		
302	trichlorophenyl)quinazolin-4-amine  N-(3-chloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
	(methyloxy)quinazolin-4-amine  N-(3,4-dichlorophenyl)-7-{[(4-ethanimidoyl-1,4-oxazepan-2-yl)methyl]oxy}-6-		
303	(methyloxy)quinazolin-4-amine  N-(4-bromo-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
304	(methyloxy)quinazolin-4-amine  N-(5-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
305	(methyloxy)quinazolin-4-amine		
306	N-(4-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine		
307	N-(2,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
308	N-(2,4-dibromophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
309	7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)-N-(2,3,4-trichlorophenyl)quinazolin-4-amine		
310	N-(3,4-dichlorophenyl)-7-{[(1-ethyl-4-methylpiperazin-2-yl)methyl]oxy}-6-		
311	(methyloxy)quinazolin-4-amine  N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-		
	yl]oxy} methyl)morpholine-4-carboximidamide  N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(pyrrolidin-1-ylmethyl)-1,3-thiazol-4-		
312	yl]methyl}oxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(tetrahydro-2H-pyran-4-yl)morpholin-2-		
313	yl]methyl}oxy)quinazolin-4-amine		

	Table 4. Representative EGFR and/or VEGFR Inhibitors		
Entry	Name		
314	N-(3,4-dichlorophenyl)-7-({[4-(2-ethylbutyl)morpholin-2-yl]methyl}oxy)-6- (methyloxy)quinazolin-4-amine		
315	7-({[4-(cyclohexylmethyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine		
316	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]ethanol		
317	7-{[(4-but-2-yn-1-ylmorpholin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-		
318	7-{[(4-cyclobutylmorpholin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine		
319	N-(3,4-dichlorophenyl)-7-[({4-[2-(1,3-dioxolan-2-yl)ethyl]morpholin-2-yl}methyl)oxyl-		
320	7-({[4-(2-cyclohexylethyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-		
321	N-(3,4-dichlorophenyl)-7-[({4-[2-(1,3-dioxan-2-yl)ethyl]morpholin-2-yl}methyl)oxy]-0-		
322	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pent-4-en-1-ylmorpholin-2-		
323	N-(3,4-dichlorophenyl)-7-{({4-[(2R)-2-methylbutyl]morpholin-2-yl}methyl)oxy]-6-		
324	N-(3,4-dichlorophenyl)-7-({[4-(4-fluorobutyl)morpholin-2-yl]methyl}oxy)-6-		
325	3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-		
326	1-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)qumazolm-/-		
327	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pentylmorpholin-2-		
328	N-(3,4-dichlorophenyl)-7-{[(4-hexylmorpholin-2-yl)methyl]oxy}-6-		
329	N-(3,4-dichlorophenyl)-7-{[(4-heptylmorpholin-2-yl)methyl]oxy}-6-		
330	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-octylmorpholin-2-		
331	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-phenylethyl)morpholin-2-		
332	7-{[(4-butylmorpholin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-o-		
333	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-prop-2-en-1-ylmorpholin-2-		
334	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-/-		
335	N-(3,4-dichlorophenyl)-7-({[4-(2-fluoroethyl)morpholin-2-yl]methyl}oxy)-6-		
336	N-(3,4-dichlorophenyl)-7-({[4-(3-methylbut-2-en-1-yl)morpholin-2-yl]methyl}oxy)-0-		
337	7-[({4-[(2E)-3-bromoprop-2-en-1-yl]morpholin-2-yl}methyl)oxyj-iv-(3,4-		
338	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-/-		
	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[3-(tetrahydro-2H-pyran-2-yloxy)propy		

Entry	Name
340	N-(3,4-dichlorophenyl)-7-({[4-(3-methylbutyl)-1,4-oxazepan-2-yl]methyl}oxy)-6- (methyloxy)quinazolin-4-amine
341	7-({[4-(cyclohexylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)-4-[(3,4-dichlorophenyl)methyll-6-(methyloxy)quinazoline
342	7-({[4-(2-cyclohexylethyl)-1,4-oxazepan-2-yl]methyl}oxy)-4-[(3,4-dichlorophenyl)methyll-6-(methyloxy)quinazoline
343	N-(3,4-dichlorophenyl)-7-({[4-(2-ethylbutyl)-1,4-oxazepan-2-yl]metnyl}oxy)-0-
344	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(methylsulfonyl)-1,4-oxazepan-2-
345	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(1-methylpiperidin-4-yi)morpholin-2-
346	N-(3-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
347	N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazoiin-7-
348	N-(3-bromo-4-methylphenyl)-7-{[(4-methylmorpholin-2-yt)methyljoxy}-0-
349	N-(3,4-dichlorophenyl)-7-{[(1,4-diethylpiperazin-2-yl)methyl]oxy}-6-
350	4-({[4-[(4-bromo-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-/-yijoxy}methyl)-iv
351	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(methylsulfonyl)morpholin-2-
352	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(phenylmethyl)surronyl]morphom-2-
353	N-(3,4-dichlorophenyl)-7-[({4-[(4-fluorophenyl)sulfonyl]morpholin-2-yl}methyl)oxyl
354	(methyloxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-7-({[4-(ethylsulfonyl)morpholin-2-yl]methyl}oxy)-6-
355	(methyloxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(phenylsulfonyl)morpholin-2-
356	yl]methyl}oxy)quinazolin-4-amine 7-[({4-[(3-chloropropyl)sulfonyl]morpholin-2-yl}methyl)oxy]-N-(3,4-dichlorophenyl)-
·······	(methyloxy)quinazolin-4-amine 7-({[4-(butylsulfonyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-
357 358	(methyloxy)quinazolin-4-amine  N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(4-methylphenyl)sulfonyl]morpholin-2-
359	yl} methyl)oxy quinazolin-4-amine  N-(3,4-dichlorophenyl)-7-[({4-[(3,5-dimethylisoxazol-4-yl)carbonyl]morpholin-2-
360	yl}methyl)oxy]-6-(methyloxy)quinazoiin-4-aintile N-(3 4-dichlorophenyl)-6-(methyloxy)-7-{[(4-{[3-(methyloxy)phenyl]acetyl}morpholi
<u></u>	2-yl)methyl]oxy}quinazolin-4-amine N-(3 4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-methylpentanoyl)morpholin-2-
361	yl]methyl}oxy)quinazolin-4-amine 7-[{{4-[(4-butylphenyl)carbonyl]morpholin-2-yl}methyl)oxy]-N-(3,4-dichlorophenyl)-
362	(methyloxy)quinazolin-4-amine 7-[({4-i(4-chlorophenyl)acetyl]morpholin-2-yl}methyl)oxy]-N-(3,4-dichlorophenyl)-6
363	(methyloxy)quinazolin-4-amine N-(3.4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-propylpentanoyl)morpholin-2-
364	yl]methyl}oxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-methylpentanoyl)morpholin-2-

	Table 4. Representative EGFR and/or VEGFR Inhibitors		
Entry	Name		
366	N-(3,4-dichlorophenyl)-7-[({4-[(2,5-difluorophenyl)carbonyl]morpholin-2-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine		
367	7-({[4-(cyclopentylcarbonyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine		
368	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-phenylbutanoyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine		
369	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(2,3,6-trifluorophenyl)carbonyl)morpholin-2-yl}methyl)oxy]quinazolin-4-amine		
370	N-(3,4-dichlorophenyl)-7-({[4-(furan-3-ylcarbonyl)morpholin-2-yl]methyl}oxy)-6- (methyloxy)quinazolin-4-amine		
371	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-propanoylmorpholin-2-yl)methylloxy}quinazolin-4-amine		
372	N-(3,4-dichlorophenyl)-7-{[(4-hexanoylmorpholin-2-yl)methyl]oxy}-6-		
373	N-(3,4-dichlorophenyl)-7-({[4-(2-ethylhexanoyl)morpholin-2-yl]methyl}oxy)-6-		
374	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(3-phenylpropanoyl)morpholin-2-		
375	N-(3,4-dichlorophenyl)-7-({[4-(2,2-dimethylpropanoyl)morpholin-2-yl]methyl}oxy)-6-		
376	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(naphthalen-1-ylcarbonyl)morpholin-2-		
377	7-[({4-[(2-chloropyridin-3-yl)carbonyl]morpholin-2-yl}methyl)oxy]-N-(3,4-		
378	7-[({4-[(6-chloropyridin-3-yl)carbonyl]morpholin-2-yl}methyl)oxyj-//-(3,4-		
379	7-({[4-(1,3-benzodioxol-5-ylcarbonyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine		
380	N-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-[(morpholin-2-		
381	//-(3,4-dichlorophenyl)-6-{[2-(methyloxy)ethyl]oxy}-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine		
382	N-(3,4-dichlorophenyl)-6-(ethyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amin		
383	N-(3,4-dichlorophenyl)-6-(ethyloxy)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine		
384	N-(4-bromo-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
385	N-(4-chloro-3-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
386	N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-		
387	N-(4-bromo-3-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-0-		
388	N-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-{[(4-methylmorpholin-2-		
389	N-(3,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-{[2-		
390	N-(4-bromo-2-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-o-		
391	7-{[(4-acetyl-1,4-oxazepan-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine		

	Table 4. Representative EGFR and/or VEGFR Inhibitors		
Entry	Name		
392	4-[(3,4-dichlorophenyl)amino]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-6-ol		
393	N-(3-bromo-4-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine		
394	3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-3-oxopropanoic acid		
395	methyl 4-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-4-oxobutanoate		
396	N-(3,4-dichlorophenyl)-7-{[(4-methylmorpholin-3-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine		
397	N-(3-bromo-2-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine		
398	N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-N-[2-(methyloxy)ethyl]morpholine-4-carboximidamide		
399	N-cyano-2-({{4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy} methyl)-N-ethylmorpholine-4-carboximidamide		
400	[(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-ylloxy)methyl)morpholin-4-yll(piperidin-1-yl)methylidene]cyanamide		
401	[(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-		
402	[(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-ylloxy}methyl)morpholin-4-yl](4-methylpiperazin-1-yl)methylidene]cyanamide		
403	N-(3,4-dichlorophenyl)-7-{[(6-ethyl-4,6-dimethylmorpholin-2-yl)methyl]oxy}-6-		
404	N-(4-bromo-3-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
405	N-(3,4-dichlorophenyl)-7-{[(6,6-dimethylmorpholin-2-yl)methyl]oxy}-6-		
406	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4,6,6-trimethylmorpholin-2-		
407	N-(3,4-dichlorophenyl)-7-{[2-(5,5-dimethylmorpholin-2-yl)ethyl]oxy}-6-		
408	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[2-(4,5,5-trimethylmorpholin-2-		
409	1,1-dimethylethyl 2-(2-{[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-/-		
410	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-		
411	N-(4-bromo-2,3-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
412	N-(4,5-dichloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
413	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[2-(4,6,6-trimethylmorpholin-2-		
414	N-(4-bromo-2,3-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
415	N-(4-bromo-2,5-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
416	N-(4-bromo-3,5-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-		
417	N-(3,4-dichloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine		

E m tranz	Name
Entry	N-(3,4-dichlorophenyl)-7-({[(2R,5S,6S)-5,6-dimethylmorpholin-2-yl]methyl}oxy)-6-
418	L contraction 4 amine
-	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[(2R,5S,6S)-4,5,6-trimethylmorpholin-2-
419	1 13 14 13 3in a-colin // OWATAG
420	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[(2S,5S,6S)-4,5,6-trimethylmorpholin-2-
420	13 -4L-1) and an improving 4-9mine
421	N-(4-bromo-3-chloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
	(methyloxy)quinazolin-4-amine  N-(4-bromo-5-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
422	1 ( At at an Aguir agolin 4-amine
	N-(4-bromo-3-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
423	i calling a sub-ulus-rolin Alemine
40.4	N-(3,4-dichloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-0-
424	
425	N-(3-chloro-2,4-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
	(methyloxy)quinazolin-4-amine  N-(2,3-dichloro-4-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
426	
	(methyloxy)quinazolin-4-amine 6-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-3,3,4-
427	
	N-(4-bromo-2,3-dichlorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimetnylmorphorm-2-
428	
429	yl)methyl]oxy}quinazoiin-4-amine N-(4-bromo-5-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-
427	yl)methyl]oxy}quinazolin-4-amine N-(4,5-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-
430	
	yl)methyljoxy}quinazoiii-4-amine N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-
431	
	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-{((4,5,5-u lineary
432	
433	yl)methyl]oxy}quinazolin-4-amine  N-(3-chloro-2,4-difluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-
	yl)methyl]oxy}quinazolin-4-amine (6S)-6-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-
434	
	yljoxy}methyl)-4-methylpperazin-2-one (6S)-6-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-
435	
	(46) 6 (114-1(4-bromo-3-chloro-2-fluoropnenyi)ammoj-o-(memyioxy)-q
436	
437	(65)_6_()[A_[(3 4_dichloro-2-fluoropheny])ammo]=0-(memytoxy)quin===
437	yl]oxy}methyl)-1,4-dimethylpiperazin-2-one yl]oxy}methyl)-1,4-dimethylpiperazin-2-one N-(4-bromo-3-chlorophenyl)-7-{[(3a'S,4R,6'S,6a'R)-2,2-dimethyltetrahydrospiro[1,3-
438	
	dioxolane-4,3'-turo[3,2-b]turan[-0-y[]oxy]-o-(methyloxy)-quinazolin- 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
439	
	1.4:3.6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-o-(nictry)oxy)quantum
440	
<u> </u>	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-o-(methyloxy)quinazonii
441	yl]-L-glucitol 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
442	
	yl]-5-S-methyl-5-thio-D-iditol 1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
443	yl]-2-deoxy-2-morpholin-4-yl-D-iditol

Table 4. Representative EGFR and/or VEGFR Inhibitors  Name		
Entry		
444	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(4-methylpiperazin-1-yl)-D-iditol	
445	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-pyrrolidin-1-yl-D-iditol	
446	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-	
447	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazoiin-/-	
448	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-	
449	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-	
450	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazoini-/-	
451	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazonn-7-	
452	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazonn-7-	
453	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-o-	
454	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-o-(methyloxy)quinazonia-	
455	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazoim-7	
456	N-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-[(1-methylpyrrolldin-3-yl)oxyjquiliazonii	
457	N-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-[(3R)-tetrahydrofuran-3-yloxy]quinazoiii	
 458	N-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-{[(3S,4R)-4-(methyloxy)tetranydrofurali-	
 459	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)-4-{[4-(4-methylpiperazin-1-	
460	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[3-fluoro-4-(4-metnylpiperazin-1-	
461	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[2,3-dichloro-4-(4-methylpiperazin-1-	
462	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[3,4-dichloro-2-(4-methylpherazhi-1-	
463	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(ilettiyioxy)quinazonii	
464	yl]-5-C-(trifluoromethyl)-D-gluctiol (3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydrofuran-2-ylmethyl)-1,4-oxazepan-yl]methyl}oxy)quinazolin -4-amine	
465	N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolinamine	
466	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin	
467	7-({[(3aR,6aS)-2-acetyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-N-(4-oromo-5-chloro-2-fluorophenyl)-6-(methyloxy)quinazolin-4-amine	
468	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(3aR,6aS)-octahydrocyclopenta[c]pyrrol-5-ylmethyl]oxy}quinazolin-4-amine	

	Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name	
	ethyl (3aR,5r,6aS)-5-[({4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-	
469	(methyloxy)quinazolin-7-yl}oxy)methyl]hexahydrocyclopenta[c]pyrrole-2(1H)-carboxylate	
470	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3aR,6aS)-2-(methylsulfonyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine	
471	N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
472	N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3aR,6aS)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine	
473	N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
474	N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
475	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
476	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
477	N-(3-chloro-2,4-difluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyπol-5-yllmethyl}oxy)-6-(methyloxy)quinazolin-4-amine	
478	N-(3-chloro-2,4-difluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclopenta- [clpyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
479	N-(4,5-dichloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
480	N-(4,5-dichloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
481	N-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
482	N-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[c]pyπol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
483	N-(4-bromo-2,3-dichlorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
484	N-(4-bromo-2,3-dichlorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
485	N-(3,4-dichlorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yllmethyl}oxy)-6-(methyloxy)quinazolin-4-amine	
486	N-(3,4-dichlorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
487	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
488	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3aR,6aS)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine	
489	N-(3,4-dichlorophenyl)-7-[(2-{[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yllamino}ethyl)oxyl-6-(methyloxy)quinazolin-4-amine	
490	N-(3,4-dichlorophenyl)-7-({2-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yllethyl}oxy)-6-(methyloxy)quinazolin-4-amine	
491	N-(3,4-dichlorophenyl)-7-({[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine	
492	N-(3,4-dichlorophenyl)-7-{[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]oxy}-6- (methyloxy)guinazolin-4-amine	
493	1,1-dimethylethyl (3aR,6aS)-5-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyl-oxy)quinazolin-7-yl]oxy} methyl)hexahydrocyclopenta[c]pyπole-2(1H)-carboxylate	

Entry Name	
Entry	<u> </u>
494	1,1-dimethylethyl (3aR,6aS)-5-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl) hexahydrocyclopenta-[c]pyrrole-2(1H)-carboxylate
495	N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[[(3aR,5r,6aS)-octahydrocyclopenta]c]pyrrol-5-yl]methyl]oxy}quinazolin-4-amine
496	7-{[(3-endo)-8-azabicyclo[3.2.1]oct-3-ylmethyl]oxy}-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine
497	1,1-dimethylethyl (3-endo)-3-(2-{[4-[(3,4-dichlorophenyl)amino]-6- (methyloxy)quinazolin-7-yl]oxy}ethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate and
498	7-({2-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yl]ethyl}oxy)-N-(3,4-dichlorophenyl)-6-
499	1,4:3,6-Dianhydro-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2
500	3,6-Anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-1,2-O-(1-methylethylidene)-□-D-idofuranose
501	1,4:3,6-dianhydro-5- <i>O</i> -{4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quin-azolin-7-yl}-2-deoxy-2-fluoro-L-iditol
502	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-(methylsulfonyl)-D-glucitol
503	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-glucitol
504	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7 yl]-5-S-methyl-5-thio-L-iditol
505	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7 yl]-2-deoxy-2-morpholin-4-yl-L-iditol
506	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7 yl]-2-deoxy-2-(4-methylpiperazin-1-yl)-L-iditol
507	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7 yl]-2-deoxy-2-pyrrolidin-1-yl-L-iditol
508	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6- (methyloxy)quinazolin-7-yl]-L-iditol
509	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-yl]-L-iditol
510	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin- yl]-2-deoxy-2-(methylsulfonyl)-L-iditol
511	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6- (methyloxy)quinazolin-7-yl]-2-deoxy-L-iditol 1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
512	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin- yl]-2-deoxy-2-(dimethylamino)-L-iditol 1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
513	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin- yl]-2-deoxy-2-(diethylamino)-L-iditol 1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
514	1,4:3,6-dianhydro-5-O-[4-[(4-oromo-3-chlorophenyl)amino]-o-(hlethydxy)quantum yl]-2-deoxy-2-piperidin-1-yl-L-iditol 2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-
515	2-(acetylamino)-1,4:3,6-diannydro-3-O-[4-[(4-broino-3-Chlorophenyt)dinino] (methyloxy)quinazolin-7-yl]-2-deoxy-L-iditol 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyt)amino]-6-(methyloxy)quinazolin-
516	yl]-5-O-methyl-5-C-(trifluoromethyl)-D-glucitol  1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
517	yl]-2-deoxy-2-[(methylsulfonyl)amino]-L-iditol  1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)-4-{[4-(4-methylpiperazin-1-
518	yl)phenyl]amino}quinazolin-7-yl)-L-iditol  1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[3-fluoro-4-(4-methylpiperazin-1-
519	yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-L-iditol

Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name
520	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[2,3-dichloro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol and
521	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[3,4-dichloro-2-(4-methylpiperazin-1-yl)phenyllamino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol and
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Tal	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
1	H <sub>3</sub> C Z ZH		
2	CH <sub>3</sub> NH N N O-N		
3	H <sub>3</sub> C N N N O N		
4	NH-N CH <sub>3</sub> NH CH <sub>3</sub>		
5	O-H <sub>3</sub>		

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
6		
7	CH <sub>3</sub>	
8	NH N	
9	NH-N NH NH O-N	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
10	NH-N CH <sub>3</sub> NH CH <sub>3</sub> Br N N N N N N N N N N N N N N N N N N N
11	CH3 O N N N O N N N N N N N N N N N N N N
12	Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
13	NH-N CH <sub>3</sub> NH CH <sub>3</sub> OH <sub>3</sub> O
14	NH N
15	N-NH NH NH CH <sub>3</sub> O-CH <sub>3</sub>

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
16	Br N-NH CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	
17	NH-N NH NH N	
18	CH <sub>3</sub> N H O N	
19	NH-N NH NH N	
20	Br NH NH2	
21	NH-N NH NH CH <sub>3</sub> N N CI	

Tal	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
22	NH-N NH CI NH CI NH CH <sub>3</sub>
23	NH CH <sub>3</sub>
24	Br NH NH H <sub>3</sub> C N CH <sub>3</sub>
25	N-NH NH N NH
26	Br NH N
27	Br NH NH H <sub>3</sub> C CH <sub>3</sub>

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
28	NH-N NH O NH O CH <sub>3</sub>	
29	NH-N NH Br N NH <sub>2</sub>	
30	NH-N NH N CH <sub>3</sub>	
31	NH-N NH Br N CH <sub>3</sub>	
32	NH-N NH O-CH <sub>3</sub>	
33	NH-N NH NH N NH NH N NH NH N NH NH N NH NH N	
34	N-NH NH NH NH NH	
35	Br NH-N O N-CH <sub>3</sub>	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
36	N-NH NH CH <sub>3</sub>
37	NH-N NH NH O
38	Br N N N CH3
39	Br NH N CH <sub>3</sub>
40	NH-N NH NH N CH <sub>3</sub> N N
41	NH-N NH NH N N N N N N N N N N N N N N N N
42	CH <sub>3</sub> —N—NH NH N
43	NH-N NH N N N N N N N N N N N N N N N N N N

Ta	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
44	NH-N NH-N NH-N NH-N NH-N NH-N NH-N NH-N
45	CH <sub>3</sub> —N-NH NH N
46	NH-N NH N N N N N N N N N N N N N N N N N N
47	N N N N N N N N N N N N N N N N N N N
48	CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N
49	NH-N NH N CH <sub>3</sub> N N
50	H <sub>3</sub> C N N O N CH <sub>3</sub>
51	H <sub>3</sub> C N N N

	Ta	ble 5a. Representative IGF-1R Inhibitors
E	ntry	Structure
	52	NH-N NH N CH <sub>3</sub>
	53	Br NH  CH <sub>3</sub> O CH <sub>3</sub>
	54	CH <sub>3</sub> CH <sub>3</sub> NH  NH  NH  NH  NH  NH  NH  NH  NH  N
	55	NH NH NH O NH
	56	H Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
	57	CI NH CH <sub>3</sub> NH N NH N NH N CH <sub>3</sub>

Ta	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
58	Br NH CH <sub>3</sub>
59	H <sub>3</sub> C N CH <sub>3</sub>
60	O-N CH <sub>3</sub> NH NN NN NN NN NN NN NN NN NN
61	NH-N NH NH NH NH NH NH NH NH NH NH NH NH NH
62	Br Z ZH CH3
63	Br N-NH CH3
64	NH-N NH NH NH NH NH NH NH NH NH NH NH NH NH
65	Br N-NH NH NH NH NH NH NH CH <sub>3</sub> CH <sub>3</sub>

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
66	CH <sub>3</sub> CI N N CI
67	H <sub>3</sub> C N N N N N N N N N N N N N N N N N N N
68	2
69	NH-N NH N CH <sub>3</sub>
70	NH-N NH Br N N
71	Br NH CH3
72	NH-N NH N N N N N N N N N N N N N N N N N N
73	NH-N NH O NH <sub>2</sub>

Ta	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
74	H <sub>3</sub> C N H N N N N N N N N N N N N N N N N N
75	NH O N CH <sub>3</sub>
76	Br NH-N ON-CH3 CH3
77	N-NH NH NH CH <sub>3</sub> NH CH <sub>3</sub> CH <sub>3</sub>
78	N-NH NH NH CH <sub>3</sub>
79	Br NH CH <sub>3</sub>
80	Br N N N N N N N N N N N N N N N N N N N

Ta	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
81	NH-N NH Br N N N N N
82	H <sub>3</sub> C NH O N
83	Br Z ZH
84	NH-N NH N N N OCF3
85	N-NH NH NH NH NN-CH <sub>3</sub>
86	Br NH NH CH3
87	NH-N NH Br NH <sub>2</sub>

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
88	NH-N NH O CH <sub>3</sub>
89	NH-N NH-N NH-N NH-N NH-N NH-N NH-N NH-N
90	NH-N NH CH <sub>3</sub>
91	NH-N NH OS NH2
92	Br N-NH O CH3 CH3 CH3
93	Br N N N N N N N N N N N N N N N N N N N
94	NH N N N N N N N N N N N N N N N N N N

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
95	N-NH NH N
96	NH-N NH-N NH-N NH-N NH-N NH-N NH-N NH-N
97	
98	NH-N NH NH CI CH <sub>3</sub>
99	Br NH CH <sub>3</sub>
100	Br N N N CH3
101	N-NH NH NH NH CI

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
102	NH-N NH Br N N O-CH <sub>3</sub>
103	Br NH CH3
104	DH-N NH NH N
105	
106	NH-N NH-N
107	Br CH <sub>3</sub>
108	Br NH CH3
109	H <sub>3</sub> C N N N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
110	CH <sub>3</sub>	
111	NH-N NH N-CH <sub>3</sub> CH <sub>3</sub>	
112	DI NH NN	
113		
114	H <sub>3</sub> C N N N H	
115	N N CH3	
110	N-NH CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> Nh	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
117	N-NH NH N N N N	
118	N-NH NH NH CH <sub>3</sub> CH <sub>3</sub>	
119	NH-N NH O-CH <sub>3</sub> Br N N O CH <sub>3</sub>	
120	Br Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
121	NH-N NH NH N N N N N N N N N N N N N N N N	
122	Br N-NH	
123	NH-N NH Br N N N O-CH <sub>3</sub>	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
124	Br NH-N N-CH3	
125	H <sub>3</sub> C N N N	
126	NH-N NH CH <sub>3</sub>	
127	NH-N NH NH NH NH <sub>2</sub> NH <sub>2</sub>	
128	NH-N NH NH N N N N N N N N N N N N N N N N	
129	NH N	
130	N-NH NH N NH	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
131	Br N N S CH3
132	NH-N NH Br NH
133	Br N HN-N
134	Br NH NH
135	Br NH NH S CH3
136	NH-N NH N N CI
137	NH-N NH-N

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
138	NH-N NH NH NH NH NH NH NH NH NH NH NH NH NH
139	H <sub>3</sub> C N N CI
140	NH N
141	NH-N N CH <sub>3</sub> OCH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>
142	NH-N NH N N C CH <sub>3</sub>
143	N-NH NH N N N N N N N N N N N N N
144	N-NH NH NH N

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
145	NH-N CH <sub>3</sub> Br NH CH <sub>3</sub> NH CH <sub>3</sub>
146	NH N
147	N-NH NH NH NH OCH3
148	NH-N NO <sub>2</sub> NH N CI
149	NH-N NH N N O CH <sub>3</sub>
150	Br N-NH CH <sub>3</sub>
151	NH N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
152	Br NH-N	
153	H <sub>2</sub> C N N N N CH <sub>2</sub>	
154	NH'N NH	
155	NH NH NH CF3 NH	
156	Br NH2 O	
157	CH <sub>3</sub> NH	
158	Br NH2	
159	Br NH CH <sub>3</sub>	

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
160	NH.N.N.N.CH3  CI N N N CH3  CH3	
161	Br N-NH NH N	
162	NH-N NH O CH <sub>3</sub> CH <sub>3</sub>	
163	NH-N NH Br	
164	NH-N NH NH-N NH NH-N NH NH-N NH-N NH-N N	
165	NH-N NH CH <sub>3</sub> Br CH <sub>3</sub> CH <sub>3</sub>	
166	NH-N NH CN	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
167	H <sub>3</sub> C N CH <sub>3</sub>
168	N-NH NH NH CI
169	
170	Br NH-N
171	H <sub>3</sub> C N N O N
172	NH-N NH Br
173	H <sub>3</sub> C N N S O

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
174	HN-N HN O CH <sub>3</sub>	
175	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	
176	NH-N NH NH NH NN N-CH <sub>3</sub>	
177	N-NH NH NH NH OCH3	
178	H <sub>3</sub> C N N O N	
179	Br N-NH	

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
180	N-NH NH N NH	
181	HN-N HN N N CH <sub>3</sub>	
182	H <sub>3</sub> C N N N CI	
183	H,C.,NHO.	
184	HN-N HN CH <sub>3</sub>	
185	HN. N. CI	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
186	HN-N HN Br N N N N CH <sub>3</sub>	
187	N-NH NH NH NH CH <sub>3</sub> -O	
188	HN-N HN N Br N	
189	HN-N HN N Br N N N N N N N N N N N N N N N N N N N	
190	Br N-NH	
191	HN-N HN-N N N N N	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
192	N-NH HN N N CI	
193	HN-N HN N N CH <sub>3</sub> CH <sub>3</sub>	
194	Br NH N N N N N N N N N N N N N N N N N N	
195	HN-N HN O N CH <sub>3</sub> CH <sub>3</sub>	
196	HN-N HN N CN	
197	HN.N.	
198	HN-N HN N N NH	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
199	Br CH <sub>3</sub>
200	N-NH HN N N
201	HN-N HN N N O
202	HN-N HN Br N NH CH <sub>3</sub> C Cl
203	HN-N HN NH H <sub>3</sub> C O CH <sub>3</sub>
204	Br N CI

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
205	Br N N CI
206	N-NH NH NH O-CH <sub>3</sub> CH <sub>3</sub> -O
207	H <sub>3</sub> C N N N O CH <sub>3</sub>
208	HN-N HN N N
209	HN-N HN N N CI
210	HN N N N CI
211	HN-N HN N N N N N N N N N N N N N N N N N N

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
212	O <sub>2</sub> N N N N C	
213	HN-N HN CH3 N N CH3	
214	Br N-NH	
215	H <sub>3</sub> C. <sub>N</sub> -N HN CH <sub>3</sub> O	
216	HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
217	N-NH HN N N N N N N N N N N N N N N N N N	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
218	N-NH NH NH NH N-NH
219	Br N CH <sub>3</sub>
220	HN-N HN N N CI
221	HN-N HN N CH <sub>3</sub> CH <sub>3</sub>
222	CI N N N N N N N N N N N N N N N N N N N
223	Br NH NH CH3
224	HN-N HN N N N

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
225	HN CI CH <sub>3</sub>
226	HN-N HN N CI
227	CI CH <sub>3</sub> N H N N N N N N N N N N N N N N N N N
228	HN.N.
229	Br N-NH
230	HN-N HN CI
231	HN-N HN N N N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
232	N-NH HN N N N H H <sub>3</sub> C CH <sub>3</sub>	
233	N-NH HN N N N	
234	NH-N NH NH NH NH NH NH NH O'N-CH <sub>3</sub>	
235	NH N	
236	HN-N HN N N CI	
237	Br N N F F F	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
238	HN-N HN CH <sub>3</sub>
239	
240	HN-N HN P CI
241	HN-N HN N N CI
242	HAN NO
243	H <sub>3</sub> C N N N O N H <sub>3</sub> C O

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
244	HN-N HN O-CH <sub>3</sub> O-CH <sub>3</sub>
245	HN N N N N N N N N N N N N N N N N N N
246	H <sub>3</sub> C N H O-N
247	Br Z ZH
248	HN-N O HN N N CI
249	Br N-NH NH NN NN NN NN CH3
250	Br N-NH

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
251	Abs) N-NH HN CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N
252	H <sub>3</sub> C N N N S
253	HN.N. O HN N CI CH <sub>3</sub> C N N CI
254	Br N N CH3
255	HN-N HN NH
256	N-NH HN CH <sub>3</sub> H <sub>3</sub>
257	HN-N HN O-CH <sub>3</sub>

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
258	Br NH FF F
259	HN-N HN N H <sub>3</sub> C N NH
260	Br Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
261	H <sub>3</sub> C N NH  H <sub>3</sub> C O CH <sub>3</sub>
262	HN-N HN-N HN-N
263	HN-N HN N F F

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
264	HN-N HN PFF
265	N-NH HN N N N N N N N N N N N N N N N N N
266	HN-N HN N N N N N N N N N N N N N N N N N N
267	Br Z Z CI
268	H <sub>3</sub> C N H
269	HN-N HN N N N N N N N N N N N N N N N N N N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
270	HN-N HN NH H <sub>3</sub> C N NH	
271	HN-N HN N N N H	
272	HZ Z ZH	
273	HN-N CH <sub>3</sub> HN N S	
274	HN-N HN NH CI NH	
275	N-NH HN Z Z H	

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
276	HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
277	Br NH O N O CH3	
278	HN.N. N.	
279	Br Z Z C Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
280	H <sub>3</sub> C + C + C + C + C + C + C + C + C + C +	
281	HN N N N N N N N N N N N N N N N N N N	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
282	
283	HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
284	H <sub>2</sub> Br Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
285	Br N NH2
286	Br Z ZH
287	Br NH <sub>2</sub>
288	Br N N S CF3

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
289	Br N N N S CI
290	Br N S CI
291	Br NH2
292	Br N N O N
293	HN CH <sub>3</sub> N N N O-N
294	HN CH <sub>3</sub> CO
295	H <sub>3</sub> C N N N N N N N N N N N N N N N N N N N

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
296	Br N N N N N N N N N N N N N N N N N N N
297	H <sub>3</sub> C N N N N N N N N N N N N N N N N N N N
298	H <sub>3</sub> C N N N N N N N N N N N N N N N N N N N
299	HN N N O-N
300	HN-N HN NH H <sub>3</sub> C N NH F F F

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
301	HN-N HN N H3C NH Br F F	
302	HN-N HN N H <sub>3</sub> C N NH	
303	Br Z Z C	
304	DH-N-N-H-F-F-F-F-F-F-F-F-F-F-F-F-F-F-F-F-	
305	HN N N H F F F	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
306	H <sub>3</sub> C N N O N
307	H <sub>3</sub> C N N O-N
308	CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N
309	H <sub>3</sub> C N N N N N N N N N N N N N N N N N N N
310	HN N CH <sub>3</sub>
311	HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
312	HN-N HN N H <sub>3</sub> C N NH -O. <sub>N</sub> CH <sub>3</sub>

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
313	HN-N HN NH Br N NH
314	HN NH <sub>2</sub>
315	Br N N CH <sub>3</sub> CCH <sub>3</sub> CCH <sub>3</sub>
316	Br N-NH
317	N-NH HN N N N N
318	N-NH HN NH N NH

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
319	HN-N HN HN-N
320	HN-N HN N N N N N N N N N N N N N N N N N N
321	Br HN N N N N N N N N N N N N N N N N N N
322	Br N-NH
323	HN N N N N N N N N N N N N N N N N N N
324	HN-N HN S CI
325	HN-N HN CI CI CI CI

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
326	Br HN H3C CH3  NH2  NH2	
327	Br N HN-N	
328	Br NH NH	
329	Br N-NH	
330	HN-N HN N Br N	
331	O- HN N CI	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
332	H <sub>2</sub> N NH N N N N N N N N N N N N N N N N N	
333	N-NH HN 2 NH Br NH	
334	Br N-NH	
335	HN-N HN N Br N	
336	NH <sub>2</sub> NH <sub>2</sub> NH <sub>2</sub> NH <sub>3</sub> C H <sub>3</sub> C	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
337	NH <sub>2</sub> PO	
338	NH <sub>2</sub> CI CI H <sub>3</sub> C CI	
339	NH <sub>2</sub> NH NH CH <sub>3</sub>	
340	NH <sub>2</sub> Z Z Z	
341	H <sub>3</sub> C	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
342	NH <sub>2</sub> CI CI NH <sub>3</sub> C H <sub>3</sub> C H	
343	HN O HN O NH <sub>2</sub> Z Z Z Z Z Z	
344	NH <sub>2</sub>	
345	NH <sub>2</sub> N N N N N O CH <sub>3</sub>	

Tal	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
346	H <sub>3</sub> C NH NH NH OCH <sub>3</sub>		
347	NH N		
348	NH <sub>2</sub>		
349	NH <sub>2</sub> O O CH <sub>3</sub>		
350	NH <sub>2</sub> O		

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
351	NH <sub>2</sub> OH NH <sub>2</sub> N N N N N N N N N N N N N N N N N N N
352	NH <sub>2</sub> OH NH <sub>2</sub> N N N N N N N N N N N N N N N N N N N
353	NH <sub>2</sub> N N CH <sub>3</sub>
354	NH <sub>2</sub> O
355	NH <sub>2</sub> NH <sub>2</sub> N N N N CH <sub>3</sub>

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
356	NH <sub>2</sub> NH <sub>2</sub> NH <sub>2</sub> NH <sub>2</sub> NH <sub>2</sub> NH <sub>3</sub>
357	NH S NH S F
358	NH <sub>2</sub>
495	H <sub>3</sub> C N N N N N N N N N N N N N N N N N N N
496	N CH,

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
497	HN CH,
498	H,CC P
499	
500	H <sub>3</sub> C N H O N
501	
502	HN HO N

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
503	The chair
504	HN N-H
505	CH, CH, CH, CH, CH, CH,
506	
507	H,C, N H,C CH, CH, CH, CH, S
508	
509	H.C. N. N. H. N. H

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
510	
511	H. CH <sub>3</sub>
512	
513	
514	Cu~o~u~u~u~u~u~u~u~u~u~u~u~u~u~u~u~u~u~u
515	HN N-T
516	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
517	H,C.N.O.N.	
518	H <sub>3</sub> C, N CH <sup>3</sup>	
519	HN N N CH,	
520	HZ ZH CH3	
521		
522	TZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
523	HN-N HN HN HN N-O
524	Br N N N N N N N N N N N N N N N N N N N
525	H <sub>3</sub> C B
526	H <sub>3</sub> C N H <sub>3</sub> C CH <sub>3</sub>
527	H,C. N NH NH NH NH NH NH
528	H,c N N CH,

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
529	H,C N NH NH ON NH	
530	CH, CH, HN NH ON	
531		
532	H <sub>2</sub> C \ O \ N \ NH \ O \ N	
533		
534	Br N N	

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
535	HN CH3  CH3  CH3  CH3	
536	H <sub>2</sub> CC	
537	HN-N HN N HN N HN N HN N HN N HN N HN N	
538	H,C 2 2 1 CO	
539	H <sub>3</sub> C H <sub>3</sub> C	
540	HN-N HN-N CH,	
541	BY N CH,	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
542	H <sub>3</sub> C N H O N Br
543	Br N N N
544	CI N N CH3
545	HN-N N N N N N N N N N N N N N N N N N N
546	HN-N-N-NH <sub>2</sub> H <sub>3</sub> C N N N
547	HO CH,
548	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
549	HN-N-CH,	
550	HN-N HN NH <sub>2</sub>	
551	HN-N N N N N N N N N N N N N N N N N N N	
552	HN-N HN D O N CH,	
553	HN N N N N N N N N N N N N N N N N N N	
554	HN, N	
555	H,c N H O N N-CH,	
556	HN-N HN-N HN-N	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
557	HN H Chiral	
558	H <sub>3</sub> C. N N N N N N CH <sub>3</sub>	
559	H <sub>3</sub> C. <sub>N</sub> NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN	
560	HN CH,	
561	NA NA NA O. NA	
562	HN N S CI	
563	H <sub>3</sub> C N NH	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
564	HN N CH3	
565	HN-N HN C CH <sub>3</sub>	
566	HN-N OH,C CH,	
567	H <sub>3</sub> C N N N	
568	HN-N HN-N H <sub>3</sub> C CH <sub>3</sub>	

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
569	HN-N HN N N-CH <sub>3</sub>		
570	HN-N HN N HN S N H <sub>3</sub> C N H S N S N H		
571	HN-N HN N H,c N H S N N		
572	H,c N H O-N and		
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.		

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
1	CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-N <sup>6</sup> -[3-(diethylamino)propyl]- N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5 yl]methyl}pyrimidine-2,4,6- triamine

Entry	Structure	Name
2	CH <sub>3</sub> N-NH NN-NH N	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>6</sup> -[2-(diethylamino)ethyl]-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
3	HN CH <sub>3</sub> Chiral  HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N <sup>4</sup> -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(3S)-3-methylpiperazin-1-yl]pyrimidine-2,4-diamine
4	CH <sub>3</sub>	$N^4$ -(5-cyclopropyl-1 $H$ -pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}- $N^2$ -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamin
5	HN-N CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> O N N O N	N <sup>4</sup> -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(1-methylpyrrolidin-3-yl)oxy]-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamin
6	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpyrrolidin-3-yl)oxy]pyrimidine-2,4-diamine

17-4	Table 5b. Additional Representative Structure	Name
<b>Entry</b> 7	HN-N CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N <sup>4</sup> -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(1-methylpyrrolidin-3-yl)oxy]pyrimidine-2,4-diamine
8	CH <sub>3</sub> N-NH CH <sub>3</sub>	N <sup>4</sup> -[2-(diethylamino)ethyl]-N <sup>2</sup> - {[3-(1-methylethyl)isoxazol-5- yl]methyl}-N <sup>6</sup> -[5-(1-methylethyl)- 1H-pyrazol-3-yl]pyrimidine-2,4,6- triamine
9	HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5 yl]methyl}-N <sup>4</sup> -[5-(1-methylethyl) 1 <i>H</i> -pyrazol-3-yl]pyrimidine-2,4- diamine
10	N-NH CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(1-methylpiperidin-3-yl)oxy]-N <sup>2</sup> -[(3 phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamin
11	N-NH CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	$N^2$ -{{3-(1-methylethyl)isoxazol-:yl}methyl}- $N^4$ -{5-(1-methylethyl 1 $H$ -pyrazol-3-yl}-6-[(1-methylpiperidin-3-yl)oxy]pyrimidine-2,4-diamine
12	CH <sub>3</sub>	N-(5-cyclopropyl-1H-pyrazol-3-yl)-6-methyl-2-{[(3-phenylisoxazol-5-yl)methyl]oxy}pyrimidin-4-ami

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
13	CH <sub>3</sub> NH HN NH	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl-N <sup>2</sup> -[(4-phenyl-1 <i>H</i> -imidazol-2-yl)methyl]pyrimidine-2,4-diamine
14	CH <sub>3</sub>	6-{[2-(dimethylamino)ethyl]oxy}- N²-{[3-(1-methylethyl)isoxazol-5- yl]methyl}-N³-[5-(1-methylethyl)- 1 H-pyrazol-3-yl]pyrimidine-2,4- diamine
15	N-NH CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N <sup>4</sup> -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
16	HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> NH  NH  NH  NH  NH  NH  NH  NH  NH  N	N <sup>4</sup> -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(2-morpholin-4-ylethyl)oxy]-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
17	HN-N CH <sub>3</sub> HN CH <sub>3</sub>	N <sup>4</sup> -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine

Entry	Structure	Name
18	CH <sub>3</sub> CH <sub>3</sub> N-NH  CH <sub>3</sub> CH <sub>3</sub> N  N  N  N  N  CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	$N^4$ -[3-(diethylamino)propyl]- $N^2$ - {[3-(1-methylethyl)isoxazol-5- yl]methyl}- $N^6$ -[5-(1-methylethyl)- 1 $H$ -pyrazol-3-yl]pyrimidine-2,4,6- triamine
19	N-NH Chiral  HN CH3  NNN NN N	N <sup>4</sup> -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(3S)-3-methylpiperazin-1-yl]-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
20	CH <sub>3</sub> N  N  N  N  N  N  N  N  N  N  N  N  N	$N^4$ -[2-(diethylamino)ethyl]- $N^6$ -[5-(1-methylethyl)-1 $H$ -pyrazol-3-yl]- $N^2$ -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine
21	CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(1-methylpiperidin-4-yl)oxy]-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamin
22	N-NH HN NH CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine

TD_4	Table 5b. Additional Representative	Name
Entry 23	HN-N CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N <sup>4</sup> -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
24	HN-N HN N CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-[3-(diethylamino)propyl]-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
25	HN Z CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
26	N-NH HN N N N CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpiperidin-3-yl)oxy]pyrimidine-2,4-diamine
27	CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-N <sup>4</sup> -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(1-methylpiperidin-4-yl)oxy]pyrimidine-2,4-diamine
28	HN-N HN N N ON CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-6-methyl-N <sup>2</sup> -[(3- methylisoxazol-5- yl)methyl]pyrimidine-2,4-diamin

Entry	Table 5b. Additional Representative Structure	Name
29	HN-N HN N N CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-N <sup>2</sup> -[(3-methylisoxazol-5- yl)methyl]-6-morpholin-4- ylpyrimidine-2,4-diamine
30	CH <sub>3</sub>	$N^4$ -(3-cyclopropyl-1 $H$ -pyrazol-5-yl)- $N^2$ -[(3-methylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
31	CH <sub>3</sub> N  N  N  N  CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	$N^4$ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- $N^2$ -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpiperidin-4-yl)oxy]pyrimidine-2,4-diamine
32	HZ Z ZH Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -ругаzol-5- yl)-N <sup>2</sup> -{[3-(4- fluorophenyl)isoxazol-5- yl]methyl}-6-morpholin-4- ylpyrimidine-2,4-diamine
33	HN-N HN N N N N N N N N N N N N N N N N N N	$N^4$ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- $N^2$ -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-(4-methylpiperazin 1-yl)pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
34	N N N N N N N N N N N N N N N N N N N	$N^4$ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- $N^2$ -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
35	HN CH <sub>3</sub> HN CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N	N <sup>2</sup> -{[3-(4-fluorophenyl)isoxazol- 5-yl]methyl}-N <sup>4</sup> -[3-(1- methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6- morpholin-4-ylpyrimidine-2,4- diamine
36	HN-N CH <sub>3</sub> HN CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	$N^2$ -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}- $N^4$ -[3-(1-methylethyl)-1 $H$ -pyrazol-5-yl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
37	HN-N CH <sub>3</sub> HN CH <sub>3</sub> HN CH <sub>3</sub> F	N <sup>2</sup> -{[3-(4-fluorophenyl)isoxazol- 5-yl]methyl}-N <sup>4</sup> -[3-(1- methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6- [(2-morpholin-4- ylethyl)oxy]pyrimidine-2,4- diamine
38	N-NH HN CH <sub>3</sub> H	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl-N <sup>2</sup> -[(3-pyridin-3-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
39	N-NH HN N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N <sup>2</sup> -[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
40	NH N	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-morpholin-4-yl-N <sup>2</sup> -[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
41	N-NH HN CH <sub>3</sub> HN CH <sub>3</sub>	$N^4$ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- $N^2$ -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-piperazin-1-ylpyrimidine-2,4-diamine
42	CH <sub>3</sub> N CH <sub>3</sub> CH <sub>3</sub>	6-(4-acetylpiperazin-1-yl)-N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
43	N-NH HN N CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[4-(methylsulfonyl)piperazin-1-yl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
44	N-NH HN N N CH <sub>3</sub> CH <sub>3</sub>	4-{6-[(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)amino]-2-({[3-(1-methylethyl)isoxazol-5-yl]methyl}amino)pyrimidin-4-yl}piperazine-1-carbaldehyde
45	HN-N CH <sub>3</sub>	N <sup>4</sup> -(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
46	HN-N CH <sub>3</sub>	6-(4-methylpiperazin-1-yl)-N <sup>4</sup> -(3-methyl-1H-pyrazol-5-yl)-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
47	HN-N CH <sub>3</sub>	N <sup>4</sup> -(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6- [(2-morpholin-4-ylethyl)oxy]-N <sup>2</sup> - [(3-phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
48	HN N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl-N <sup>2</sup> -[(3-pyridin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
49	N-NH HN N N N N N N N N N N N N N N N N N	$N^4$ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- $N^2$ -{[3-(3,4-difluorophenyl)isoxazol-5-yl]methyl}-6-methylpyrimidine-2,4-diamine
50	N-NH NNH ON F	$N^4$ -(5-cyclopropyl-1 $H$ -pyrazol-3-yl)- $N^2$ -{[3-(2,4-difluorophenyl)isoxazol-5-yl]methyl}-6-methylpyrimidine-2,4-diamine
51	HN N N N N N N N N N N N N N N N N N N	$N^4$ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl- $N^2$ -[(3-pyrazin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
52	HN N N N N N N N N N N N N N N N N N N	5-chloro-N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
53	HN-N HN N N N N N N N N N N N N N N N N N N	5-chloro-N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)-N <sup>2</sup> -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
54	HN-N-CH <sub>3</sub> HN N N N N CH <sub>3</sub> CH <sub>3</sub>	N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)-N <sup>4</sup> -(3-methyl-1 <i>H</i> -pyrazol-5-yl)pyrimidine-2,4-diamine
55	HN-N HN N N N CH <sub>3</sub>	N <sup>2</sup> -[(3-methylisoxazol-5- yl)methyl]-N <sup>4</sup> -(3-methyl-1 <i>H</i> - pyrazol-5-yl)-6-morpholin-4- ylpyrimidine-2,4-diamine
56	CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N <sup>2</sup> . [(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
57	HN N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -[(3-furan-3-ylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
58	CH <sub>3</sub> Chiral	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>6</sup> -(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
59	CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
60	N-NH HN N N CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-N <sup>2</sup> -{{3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
61	N-NH HN N N CH <sub>3</sub>	N <sup>4</sup> -bicyclo[2.2.1]hept-2-yl-N <sup>6</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
62	N-NH HN N-NH CH <sub>3</sub>	N <sup>4</sup> -bicyclo[2.2.1]hept-2-yl-N <sup>6</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine
63	HN N-NH CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]-6-[(1R,4R)-5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]pyrimidine-2,4-diamine
64	N-NH HN N N ON CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3 yl)-N <sup>2</sup> -{[3-(1- methylethyl)isoxazol-5- yl]methyl}-6-[(1R,4R)-5- (phenylmethyl)-2,5- diazabicyclo[2.2.1]hept-2- yl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
65	HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-morpholin-4-yl-N <sup>2</sup> -[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
66	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> N  N  N  N  N  N  N  N  N  N  N  N  N	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}-N <sup>2</sup> -[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
67	N-NH HN N-NH O-N N-NH CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(5-fluoropyridin-2-yl)isoxazol-5-yl]methyl}-6-methylpyrimidine-2,4-diamine
68	CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)-N <sup>2</sup> -{[3-(2-thienyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
69	H Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2- (dimethylamino)ethyl]oxy}-N <sup>2</sup> - [(3-pyridin-2-ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
70	HN-N HN N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)-N <sup>2</sup> -[(3-pyrimidin-5-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
71	CH <sub>3</sub> HN-N HN N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl-N <sup>2</sup> -[(3-pyrimidin-5-yl)soxazol-5-yl)methyl]pyrimidine-2,4-diamine
72	N NH CH <sub>3</sub> CH <sub>3</sub>	$N^4$ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(diethylamino)ethyl]oxy}- $N^2$ -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
73	N-NH HN N O N CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
74	N-NH HN N N CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2- (diethylamino)ethyl]oxy}-N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

Entry	Structure	Name
75	N-NH HN N CH <sub>3</sub>	N <sup>4</sup> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N <sup>2</sup> -[(3-methylisoxazol-5-yl)methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
76	CH3 N N N N N N N N N N N N N N N N N N N	$N^4$ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)- $N^2$ -{[3-(1,3-thiazol-2-yl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
77	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	$N^4$ -(3-cyclopropyl-1 $H$ -pyrazol-5-yl)-6-[2-(dimethylamino)ethoxy]- $N^2$ -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
78	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	6-{[2-(dimethylamino)ethyl]oxy} $N^2$ -[(3-methylisoxazol-5-yl)methyl]- $N^4$ -(3-methyl-1 $H$ -pyrazol-5-yl)pyrimidine-2,4-diamine
79	CH <sub>3</sub> N CH <sub>3</sub>	6-{[2-(diethylamino)ethyl]oxy}- N²-[(3-methylisoxazol-5- yl)methyl]-N²-(3-methyl-1H- pyrazol-5-yl)pyrimidine-2,4- diamine
80	HN-N-CH <sub>3</sub> HN N-CH <sub>3</sub>	N <sup>2</sup> -[(3-methylisoxazol-5- yl)methyl]-N <sup>4</sup> -(3-methyl-1 <i>H</i> - pyrazol-5-yl)-6-[(2-pyrrolidin-1- ylethyl)oxy]pyrimidine-2,4- diamine

Entry	Table 5b. Additional Representative Structure	Name
81	HN-N HN O-N CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-methyl-N <sup>2</sup> -[2-(3-phenylisoxazol-5-yl)ethyl]pyrimidine-2,4-diamine
82	HN CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-methyl-N <sup>2</sup> -[1-(3-phenylisoxazol-5-yl)ethyl]pyrimidine-2,4-diamine
83	HN-N HN N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-N <sup>2</sup> -[(3-ethylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
84	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-N <sup>2</sup> -[(3-ethylisoxazol-5-yl)methyl]-6-morpholin-4-ylpyrimidine-2,4-diamine
85	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-{[2-(dimethylamino)ethyl]oxy}-N <sup>2</sup> -[(3-ethylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
86	CH <sub>3</sub> N N N N N N N N N N N N N N N N N N N	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-{[2- (diethylamino)ethyl]oxy}-N <sup>2</sup> -{(3-ethylisoxazol-5-yl)methyl]pyrimidine-2,4-diamin

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
87	HN-N HN N N O N CH <sub>3</sub>	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-N <sup>2</sup> -[(3-ethylisoxazol-5-yl)methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
88	HN-N HN N N N CH <sub>3</sub>	N <sup>2</sup> -{[3-(2-aminopyrimidin-4-yl)isoxazol-5-yl]methyl}-N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
89	HN-N HN N N N N N H <sub>3</sub> C	N <sup>4</sup> -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-ethylpiperazin-1-yl)-N <sup>2</sup> -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamin
90	HN N N H H <sub>3</sub> C	2-(1-{6-[(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)amino]-2-({[3-(1-methylethyl)isoxazol-5-yl]methyl}amino)pyrimidin-4-yl}piperidin-4-yl)ethanol

Entry	Structure	Name
91	HN N N N N N N N N N N N N N N N N N N	2-(4-{6-[(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)amino]-2-({[3-(1-methylethyl)isoxazol-5-yl]methyl}amino)pyrimidin-4-yl}piperazin-1-yl)ethanol

a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.

Table 6. Representative Raf Inhibitors

	Table 6. Representative Raf Inhibitors
Entry	Name
1	6-(2-butyl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
	6-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-5(41)
3	one $ 6-(1-hydroxy-2-\{[4-(methyloxy)phenyl]methyl\}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-dihydroxy-2-\{[4-(methyloxy)phenyl]methyl\}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-dihydroxy-2-\{[4-(methyloxy)phenyl]methyl\}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-dihydroxy-2-\{[4-(methyloxy)phenyl]methyl\}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-dihydroxy-2-\{[4-(methyloxy)phenyl]methyl\}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl)-2H-1,4-dihydroxy-1H-isoindol-1-yl-1-yl-1-yl-1-yl-1-yl-1-yl-1-yl-1-$
4	benzoxazin-3(4H)-one 6-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-
	benzoxazin-3(4H)-one 6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
5	benzoxazin-3(4H)-one 6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
6	6-(1-hydroxy-3-oxo-2-phenyl-2,3-dinydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4- 6-{2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
7	benzoxazin-3(4H)-one 6-{2-[(4-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
8	benzoxazin-3(4 <i>H</i> )-one 6-[1-hydroxy-3-oxo-2-(3-phenylpropyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
9	6-[1-hydroxy-3-oxo-2-(3-phenylpropyl)-2,3 diffydd 3(4H)-one 6-{2-[(3,4-dichlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
10	6-{2-[(3,4-dichlorophenyl)methyl]-1-hydroxy-3-0x0-2,3-diffyddo 11 issuiddo 12 issuiddo 12 issuiddo 12 issuiddo 12 issuiddo 12 issuiddo 12 issuiddo 13 issuiddo 14 issuiddo 14 issuiddo 14 issuiddo 14 issuiddo 14 issuiddo 14 issuiddo 15 issuiddo 17
11	6-{1-hydroxy-2-[(4-methylphenyl)methyl]-3-0x0-2,3-ainyaro-177-isoliidot-1-yi,
12	6-{2-[(4-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dinydro-177-isolidoi-1-yi)
13	benzoxazin-3(4H)-one 6-[1-hydroxy-2-(1-methylethyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)
14	one methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazo
15	2-yl}carbamate 6-{2-[(3,4-dimethylphenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
16	6-(2-{[4-chloro-3-(trifluoromethyl)phenyl]methyl}-1-nydroxy-3-0xu-2,3-diffydd 77
17	6-(2-{[4-(dimethylamino)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dinydio-177-isolido:
18	1,4-benzoxazin-3(4 <i>H</i> )-one 6-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one

<u> </u>	Table 6. Representative Raf Inhibitors
intry	Name
19	6-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
	3(4H)-one 6-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
20	
	3(4H)-one 6-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
21	A/478
	3(4H)-one 3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1H-benzimidazol-5-yl)-3-(methyloxy)-2-
22	1 N A A 17
	(phenylmethyl)-2,3-dinydro-177-isoliddi-1-one 3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1H-benzimidazol-5-yl)-2-(1-methylethyl)-3-
23	
	(methyloxy)-2,3-dihydro-1H-isolindoi-1-olie 3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1H-benzimidazol-5-yl)-3-hydroxy-2-phenyl-2,3-
24	
	dihydro-1 <i>H</i> -isoindol-1-one  3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-
25	
	2,3-dihydro-1 <i>H</i> -isoindol-1-one methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1-methyl-1 <i>H</i> -
26	henzimidazol-2-vl}carbamate
27	3-(1H-benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
	5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]- <i>N</i> -methyl-1 <i>H</i> -
28	benzimidazole-2-carboxamide
	3-hydroxy-3-(2-methyl-1 <i>H</i> -benzimidazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
29	3-hydroxy-3-(2-methyl-1 <i>H</i> -benzimidazoi-3-yi)-2-(phenyimetry) 2,3 diffydroguinoxalin-
30	7-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-3,4-dihydroquinoxalin-
50	
31	2(1H)-one 7-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-3,4-dihydroquinoxalin-
<i>J</i> 1	
32	2(1 <i>H</i> )-one 1,1-dimethylethyl 4-{[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-
	dihydro-2 <i>H</i> -isoindol-2-yl]methyl}piperidine-1-carboxylate 6-(1-hydroxy-2-{[2-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-
33	6-(1-hydroxy-2-{[2-(methyloxy)phenyi]methyi}-3-0x0-2,3-dhiydid-117 id-dhiydid-117
	benzoxazin-3(4H)-one 6-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
34	
<u> </u>	benzoxazin-3(4H)-one 6-{2-[(2-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
35	
	benzoxazin-3(4H)-one 6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
36	
	benzoxazin-3(4H)-one 6-{2-[(2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
37	
	benzoxazin-3(4H)-one 6-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
38	benzoxazin-3(4H)-one
	benzoxazin-3(4H)-one 6-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
39	
40	3(4H)-one 6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-
40	
41	one 6-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
٠.	3(4H)-one 6-[1-hydroxy-2-(3-nitrophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)
42	6-[1-hydroxy-2-(3-nitrophenyl)-3-oxo-2,3-dinydro-177-isolitdoi-1-y1]-227-1,4 0011251
	one 3.1 - 11.2 - 12.2 3.4 dibydra-1 Heisoindol-1-vl}-2H-1.4-
43	one 6-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
	benzoxazin-3(4H)-one 6-[1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
44	
	3(4H)-one
45	3-hydroxy-3-(1 <i>H</i> -indol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
<del></del>	methyl [6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-
46	
47	yl]carbamate 6-[2-(2-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
i 4/	3(4 <i>H</i> )-one

	Table 6. Representative Raf Inhibitors
Entry	Name
48	6-{[2-(3-phenyl-1,2,4-oxadiazol-5-yl)phenyl]carbonyl}-2H-1,4-benzoxazin-3(4H)-one
49	6-{[2-(1 <i>H</i> -benzimidazol-2-yl)phenyl]carbonyl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
50	6-(1-hydroxy-3-oxo-2-{[2-(trifluoromethyl)phenyl]methyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -
	1 4 4 1
51	6-{2-[(5-bromo-2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
52	6-{1-hydroxy-2-[(3-nitrophenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
	benzoxazin-3(4H)-one 6-(1-hydroxy-3-oxo-2-{[3-(trifluoromethyl)phenyl]methyl}-2,3-dihydro-1H-isoindol-1-yl)-2H-
53	1
54	6-(2-{[2,3-bis(methyloxy)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-1soindol-1-yl)-2H-
	1,4-benzoxazin-3(4H)-one 6-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
55	
56	6-[1-hydroxy-3-oxo-2-({3-[(trifluoromethyl)oxy]phenyl}methyl)-2,3-dihydro-1H-isoindol-1-yij
	2H-1,4-benzoxazin-3(4H)-one 6-(1-hydroxy-2-{[2-(methylthio)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-
57	1 AZATR
 58	6-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxaziii-
	3(4H)-one 6-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
59	
60	benzoxazin-3(4 <i>H</i> )-one 6-(1-hydroxy-3-oxo-2-{3-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-
	benzoxazin-3(4H)-one 6-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
61	
62	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -130indor-2
<u> </u>	yl]benzenesulfonamide 6-{2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
63	
64	benzoxazin-3(4H)-one 6-{2-[4-fluoro-3-(trifluoromethyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-
65	1,4-benzoxazin-3(4H)-one 3-hydroxy-3-(1H-indol-6-yl)-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
	6-[2-(3-fluoro-5-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-
66	
67	benzoxazin-3(4H)-one 6-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
	3(4H)-one 6-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
68	
69	3(4H)-one 6-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
70	benzoxazin-3(4H)-one ethyl 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-1,3-dihydro-2H-
70	isoindol-2-yl]benzoate 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-
71	
72	yl]benzonitrile 6-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
	3(4H)-one 6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-
73	
74	6-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-171-isoindoi-1-yl]-271-1,4-
	benzoxazin-3(4H)-one 6-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-
75	
76	benzoxazin-3(4H)-one 6-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)
\	one

	Table 6. Representative Raf Inhibitors
Entry	Name
77	6-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
78	6-[1-hydroxy-2-(3-hydroxyphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazın-
79	6-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
80	6-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-
81	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-
82	6-{1-hydroxy-2-[3-(hydroxymethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
83	6-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazın-
84	3-hydroxy-3-[2-(methylamino)-1H-benzimidazol-5-yl]-2-(phenylmethyl)-2,3-dihydro-1H-
85	6-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-
86	6-(2-{3-[(dimethylamino)methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -
87	6-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
88	6-(1-hydroxy-3-oxo-2-piperidin-4-yl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
89	one 6-[2-(3-{[2-(dimethylamino)ethyl]oxy}phenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]- 2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i> )-one
90	2H-1,4-benzoxazin-3(4H)-one 6-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
91	N-methyl-2-[(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)carbonyl]-N-phenylbenzamide
92	methyl {5-[1-(ethyloxy)-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
93	Phenylmethyl 2-[(2-{[(methyloxy)carbonyl]amino}-1 <i>H</i> -benzimidazol-5-yl)carbonyl]benzoate
94	3-hydroxy-3-(1H-indazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
95	2 hydray 2 (1H-indazol-6-vl)-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
96	ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
97	yl}carbamate  2-methylpropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
98	methyl {5-[1-hydroxy-3-oxo-2-(2-thienylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
99	methyl (5-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-oenzimudzoi
100	3-[2-amino-1-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-3-hydroxy-2-(pnenylmethyl)-2,3-
101	3-(2-amino-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
102	mathyl [5.(1-hydroxy-3-oxo-2.3-dihydro-1H-isoindol-1-yl)-1H-benzimidazol-2-yl]carbamate
103	3-(methyloxy)butyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
104	methyl (5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylethyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
105	methyl (5-{1-hydroxy-3-oxo-2-[(1S)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
106	2-(methyloxy)ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
107	methyl {6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1-methyl-1 <i>H</i> -
	v
	prop-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
108	L 1 1 1 1 2 - 1) configurate
	but-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
109	1 t
	1-methylethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
110	l
	benzimidazol-2-yl}caroamate methyl {5-[2-(2,3-dihydro-1 <i>H</i> -inden-2-yl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
111	1
	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-3-oxo-2-(pyridin-4-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
112	methyl (5-[1-hydroxy-3-oxo-2-(pyridil-4-yillicily))-2,5 dillydio 111 dollar 11
	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-3-oxo-2-(pyridin-3-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
113	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-3-yilinethyl)-2,3-dinydio-177-350iiidd-177-350iiidd-177-350iiidd-177-350iiidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iidd-177-350iid
	benzimidazol-2-yl}carbamate
114	benzimidazol-2-yl}caroamate methyl (6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	la talanda a Nogehomata
115	methyl {5-[1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
113	
116	benzimidazoi-2-yi}carbamate methyl [5-(1-hydroxy-2-{[2-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-
116	
	1H-benzimidazol-2-yl[carbamate methyl [5-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-
117	
	1H-benzimidazol-2-yi]caroamate methyl [5-(1-hydroxy-2-{[4-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-
118	
	1H-benzimidazol-2-yl]carbamate methyl (6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H-
119	
	benzimidazol-2-yl)carbamate methyl (6-{2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
120	1 - · · · · · · · · · · · · · · · · · ·
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
121	methyl (5-{1-hydroxy-2-[(3-todophenyt)methyl]-3-0x0-2,3-diffydio xy
	benzimidazol-2-yl)carbamate
122	benzimidazol-2-yl)carbamate  methyl (5-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
122	
122	methyl (5-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dinydro-17-18011d01-1-yij-17-
123	
	benzimidazol-2-yl)carbamate methyl {5-[1-hydroxy-3-oxo-2-(pyridin-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
124	
	benzimidazol-2-yi}caroamate phenylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
125	
	benzimidazol-2-yl}carbamate  2-fluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
126	
<del></del>	benzimidazol-2-yl}carbamate propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol
127	
	2-yl}carbamate methyl (5-{1-hydroxy-2-[4-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
128	
	benzimidazol-2-yl)carbamate methyl (5-{2-[(2-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
129	methyl (5-{2-[(2-chlorophenyl)methyl]-1-nyuroxy-3-0x0-2,3-dinyuro 171 total
	benzimidazol-2-yl)carbamate benzimidazol-2-yl)carbamate a la l
130	benzimidazol-2-yl)carbamate methyl (5-{2-[(2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
'50	
131	methyl (5-{1-hydroxy-2-[(3-methylphenyl)methyl]-3-oxo-2,3-dinydro-177-isoliidol-1-yi}-117
131	
100	benzimidazol-2-yl)carbamate  methyl (5-{1-hydroxy-2-[(4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
132	
<del></del>	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[(2-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
133	benzimidazol-2-yl)carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
134	methyl {5-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
134	
135	benzimidazol-2-yl}carbamate methyl {5-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
136	methyl {5-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
137	methyl (5-{1-hydroxy-2-[3-(methyloxy)pnenyi]-3-0x0-2,3-diffyddo-117 ibb methyl (5-{1-hydroxy-2-[3-(methyloxy-2-[3-(methyloxy)pnenyi]-3-0x0-2,3-diffyddo-117 ibb methyl (5-{1-hydroxy-2-[3-(methyloxy-2-[3-(methyloxy)pnenyi]-3-0x0-2,3-diffyddo-117 ibb methyl (5-{1-hydroxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(methyloxy-2-[3-(met
	benzimidazol-2-yl)carbamate methyl {5-[2-(4-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
138	
	benzimidazol-2-yl}carbamate methyl {5-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
139	methyl {5-[2-(4-chlorophenyl)-1-hydroxy-3-0x0-2,3-diffydfo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135mdo-177-135
	benzimidazol-2-yl}carbamate methyl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
140	
	benzimidazol-2-yl}carbamate methyl {5-[2-(3,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
141	methyl {5-[2-(3,5-dimethylphenyl)-1-hydroxy-3-0x0-2,3-diffydio-111 isomoot 1,3-diffydio-111 isomoot 2,3-diffydio-111 isom
	benzimidazol-2-yl}carbamate methyl {5-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
142	
	benzimidazol-2-yl}carbamate methyl {5-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
143	
	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
144	methyl {5-[1-hydroxy-2-(2-methylphenyl)-3-0x0-2,3-diffyddo-117 toddiad 1
• • • • • • • • • • • • • • • • • • • •	benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-2-[2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
145	methyl (5-{1-hydroxy-2-[2-(methyloxy)pnenyl]-3-0x0-2,3-diffyddo 11
	benzimidazol-2-yl)carbamate benzimidazol-1-yl]-1H-
146	benzimidazol-2-yl)carbamate methyl (5-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
147	methyl (5-{1-hydroxy-3-oxo-2-[3-(triftuoromethyr)phenyl)-2,5 dailydd archedd ac
1-11	benzimidazol-2-yl)carbamate but-2-yn-1-yl (5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
148	but-2-yn-1-yl (5-{1-hydroxy-3-0x0-2-[(1R)-1-pnenylethyl]-2,5-dmydro
,-10	benzimidazol-2-yl)carbamate  N-ethyl-N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
149	N-ethyl-N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dhlydro-111 isotho-117 iso
147	benzimidazol-2-yl}urea phenylmethyl (5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
150	phenylmethyl (5-{1-hydroxy-3-oxo-2-[(1K)-1-phenylethyl]-2,5-amy are
150	benzimidazol-2-yl)carbamate methyl {6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
151	methyl (6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-0x0-2,3-dmydro
151	benzimidazol-2-yl}carbamate
152	benzimidazol-2-yl}carbamate  piperidin-4-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
132	benzimidazol-2-yl}carbamate
153	benzimidazol-2-yl}carbamate methyl {5-[2-(cyclopropylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
155	benzimidazol-2-yl}carbamate methyl {5-[2-(2,2-dimethylpropyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
154	methyl (5-[2-(2,2-dimethylpropyl)-1-hydroxy-3-0x0-2,3-diffydio 177 foethyl
154	benzimidazol-2-yl}carbamate methyl {5-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
155	methyl {5-[2-(3,5-dichlorophenyl)-1-nydroxy-3-0x0-2,3-dmydro-17-15-5-18-18-18-18-18-18-18-18-18-18-18-18-18-
	benzimidazol-2-yl}carbamate methyl {5-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
156	methyl (5-[2-(3,5-difluorophenyl)-1-hydroxy-3-0x0-2,3-dmyddo 177 ddawydd 177
1 .50	benzimidazol-2-yl}carbamate  N-ethyl-N-(5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylethyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
157	N-ethyl-N-(5-{1-hydroxy-3-oxo-2-[(1K)-1-pnenyicuty1]-2,3-dmyddo
1	benzimidazol-2-yl)urea
158	benzimidazol-2-yl)urea  N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
1.70	yl}-N,N-dimethylurea
150	methyl (5-f2-(3-{[2-(dimethylamino)ethyl]oxy}pnenyl)-1-nydioxy-3-0x0 2,3 cm/y
159	isoindol-1-yl]-1H-benzimidazol-2-yl]carbamate
160	2.(4-methylpinerazin-1-vl)propyl (6-[1-hydroxy-3-oxo-2-(phenylmethyl) 2,3 dm)
160	isoindol-1-yl]-1H-benzimidazol-2-yl]carbamate
161	methyl {5-[2-(cyclohexylmethyl)-1-hydroxy-3-0x0-2,3-diffydio-177-isolited: 7-3
161	benzimidazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
162	methyl {5-[1-hydroxy-2-(2-methylpropyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
163	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-3-oxo-2-(1,3-thiazol-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
164	benzimidazol-2-yl}carbamate methyl {5-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
165	benzimidazol-2-yl}carbamate methyl (5-{2-[1-(3,5-difluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(3-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
166	methyl (5-{2-[1-(3-fluorophenyl)ethyl]-1-nydroxy-3-0x0-2,3-diffydro-177 homes y 5
	benzimidazol-2-yl)carbamate methyl [5-(2-cyclohexyl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-
167	methyl [5-(2-cyclohexyl-1-hydroxy-3-oxo-2,3-dillydro-177-isolidol-179-)
	yl]carbamate 2 avo 2 3 dihydro-1 H-isoindol-1-yl]-1H-
168	yl]carbamate methyl {5-[2-(2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate  N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
169	$N-\{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-177-isolidol-1-3-[1-hydroxy-3-oxo-2-(phenylmethyl)-2]$
.07	
170	yl}-N'-(phenylmethyl)urea piperidin-4-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
1,0	benzimidazol-2-yl}carbamate
171	benzimidazol-2-yl}carbamate  N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
171	
172	methyl (5-{2-[1-(2-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-umyddo-177-13011301 1 777
172	
173	methyl (5-{1-hydroxy-3-oxo-2-[1-(2-thienyl)ethyl]-2,3-ainyaro-177-isomaol-1-31)
173	benzimidazol-2-yl)carbamate
174	methyl (5-{2-[1-(3-chlorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dillydro-171-13011661 777
174	benzimidazol-2-yl)carbamate benzimidazol-2-yl)carbamate benzimidazol-2-yl)carbamate
155	methyl (5-{1-hydroxy-2-[3-methyl-5-(trifluoromethyl)phenyl-3-0x0-2,5-421) at 5
175	yl}-1H-benzimidazol-2-yl)carbamate
	yl}-1H-benzimidazol-2-yl)carbamate N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
176	yl}propanamide
	yl}propanamide methyl {5-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
177	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol
178	
	2-yl}carbamate methyl {5-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
179	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl {5-[2-(4-chloro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
180	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl [5-(1-hydroxy-3-oxo-2-{1-[3-(trifluoromethyl)phenyl]ethyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-
181	yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
<del></del>	yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate methyl (5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylpropyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
182	benzimidazol-2-yl)carbamate benzimidazol-2-yl)carbamate benzimidazol-2-yl)carbamate
	benzimidazol-2-yl)carbamate methyl [5-(1-hydroxy-3-oxo-2-{2-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)
183	1H-benzimidazol-2-yl]carbamate
<u> </u>	1H-benzimidazol-2-yl]carbamate methyl {5-[2-(2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
184	
<u> </u>	benzimidazol-2-yl}carbamate cyclohexyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
185	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate tetrahydrofuran-2-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-
186	yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
<b> </b>	yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate cyclopropylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
187	Cyclopropylmeury (3-(1-nymox) 5 one - (1-nymox)
	benzimidazol-2-yl}carbamate  N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
188	N-{3-[1-hydroxy-3-oxo-2-(phenymetry-)-2,5 wary = 5
	yl}morpholine-4-carboxamide methyl {5-[2-(cyclopentylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	methyl (5-[2-(cyclopentylmethyl)-1-nydroxy-3-0x0-2,5 dinydro

	Table 6. Representative Raf Inhibitors
Entry	Name
190	methyl {5-[2-(2,3-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
	benzimidazol-2-yi}carbamate
191	methyl {5-[2-(2,3-dihydro-1 <i>H</i> -inden-1-yl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
17	benzimidazol-2-yl}carbamate
192	methyl (2S)-cyclohexyl[1-hydroxy-1-(2-{[(methyloxy)carbonyl]amino}-1H-benzimidazol-5-yl)-
	3-oxo-1,3-dihydro-2 <i>H</i> -isoindol-2-yl]ethanoate methyl {5-[2-(2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
193	methyl (5-[2-(2,6-diffuoropnenyl)-1-nydroxy-5-oxd-2,3-diffydro-177-isomdof 1 77]
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-chloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
194	benzimidazol-2-yl}carbamate
	but-3-en-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
195	hanzimidazal-2-vilkearhamate
<del></del>	2,2,2-trifluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
196	1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1
107	methyl {5-[2-(5-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
197	1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1
198	methyl (5-{2-[1-(5-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dinydro-177-isoliidol-1-
170	1 12 1771
199	methyl (5-{1-hydroxy-3-oxo-2-[(1S)-1-phenylpropyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
177	1
200	methyl (5-{2-[1-(3-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
	yl}-1H-benzimidazol-2-yl)carbamate
201	wethyl (5-{1-hydroxy-2-[1-(5-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(5-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
202	1
	benzimidazol-2-yl)carbamate methyl {5-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-
203	1
	2-yl}carbamate methyl (5-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
204	
	methyl {5-[2-(furan-2-yl)methyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
205	1 1 1 - 1 2 all acchemate
206	methyl {5-[1-hydroxy-3-oxo-2-(3-thienylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
206	1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 -
207	methyl {5-[2-(cyclobutylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-1-yi]-1H-
207	
208	3 2 2 trifluoro-7-bydroxy-N-{5-[1-bydroxy-3-oxo-2-(phenylmetnyl)-2,3-dinydro-17-isomdol-1-
200	
209	yl]-1 <i>H</i> -benzimidazoi-2-yl}-2-(trituoromethyl)propanamide methyl (5-{1-hydroxy-2-[1-(4-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i>
	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(4-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
210	l
	benzimidazol-2-yl)carbamate methyl {5-[1-hydroxy-2-(3-{[2-(methyloxy)ethyl]oxy}phenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
211	
	yl]-1 <i>H</i> -benzimidazol-2-yl}caroamate tetrahydrofuran-3-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-
212	
	yl]-1 $H$ -benzimidazol-2-yl}carbamate $N$ -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 $H$ -isoindol-1-yl]-1 $H$ -benzimidazol-2-
213	
<del></del>	yl}piperidine-1-carboxamide methyl {5-[2-(3-bromo-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
214	
	benzimidazol-2-yl}carbamate  2,3-dihydroxypropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i>
215	
	methyl {5-[1-hydroxy-3-oxo-2-(tetrahydrofuran-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i>
216	
217	methyl (5-{2-[3-(aminocarbonyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-17-isoindoi-1-yi}-17-
41/	benzimidazol-2-yl)carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name 14 isoindolala
218	4,4,4-trifluoro-3-hydroxy-N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-
	yl]-1 <i>H</i> -benzimidazol-2-yl}-3-(trifluoromethyl)butanamide
219	yl]-1 <i>H</i> -benzimidazol-2-yl}-3-(irrituoloiniethyl)ottamatinee methyl (5-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	-   -     1
220	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate
221	benzimidazol-2-yl)carbamate methyl [5-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-
	1H-benzimidazol-2-yl]carbamate methyl [5-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-1H-benzimidazol-2-
222	methyl [5-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dinydro-177-isoliidol-1-yl) 111 ostalii-
	yl]carbamate 2,2-dimethyl-3-[(phenylmethyl)oxy]propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-
223	
	1H-isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate methyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
224	methyl (5-[2-(3-chloro-2-fluoropnenyi)-1-hydroxy-3-0x0-2,5-diffydio 137
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-cyanophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
225	
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethynyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
226	
	benzimidazol-2-yl}carbamate  methyl {5-[2-(4-fluoro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
227	
	benzimidazol-2-yl}carbamate methyl {6-[2-(3,4-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
228	
	benzimidazol-2-yl}carbamate  [(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-
229	(4S)-2,2-dimethyl-1,3-dioxolan-4-yllnethyl (5-[1-hydros]) dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yll carbamate
	dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)caroanace methyl {5-[2-(5-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
230	methyl (5-[2-(5-bromo-z-hidotophenyl)-1-hydrox) 5 one zyr
	benzimidazol-2-yl}carbamate methyl (5-{2-[3-(acetylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
231	methyl (5-{2-[3-(acetylamino)phenyl]-1-nydroxy 5 oxo 5,5 sample
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylmethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
232	methyl (5-{1-hydroxy-3-0x0-2-[3-(phenymical) //phony-1
	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(4-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
233	methyl (5-{2-[1-(4-chloro-2-thlenyl)ethyl]-1-nywoxy 5 one 2,5
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylcarbonyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
234	methyl (5-{1-hydroxy-3-0x0-2-[3-(phenylean dony-)phony-3-7-7-7-7-7-7-7-7-7-7-7-7-7-7-7-7-7-7-
	benzimidazol-2-yl)carbamate  methyl [5-(2-{3-[(dimethylamino)methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
235	methyl [5-(2-{3-(aimetnylainino)methyljphonyl)
	yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate methyl (5-{2-[3-(aminosulfonyi)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
236	
	benzimidazol-2-yl)carbamate methyl (5-[2-(3-acetylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
237	
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
238	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-chloro-5-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
239	
	benzimidazol-2-yl}carbamate  N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
240	
	yl}-2-methylpropanamide methyl (5-{2-[1-(3-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i>
241	benzimidazol-2-yl)carbamate
ļ	benzimidazol-2-yl)carbamate methyl [5-(1-hydroxy-3-oxo-2-pyridin-3-yl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-
242	
	- arbel (5 (1) hydroxy-3-oxo-2-[3-(phenylamino)phenyl]-2,3-dinydro-171-isoliidoi-1-yij
243	benzimidazol-2-yl)carbamate
<u> </u>	benzimidazol-2-yl)carbamate methyl {5-[2-(5-bromo-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1.
244	benzimidazol-2-yl}carbamate
<u> </u>	
245	metnyi {3-[2-(3-chioro-2,4-chiorophian)-))
1	benzimidazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
246	methyl {5-[2-(3,5-dichloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
240	A Continue 1 O all conhamote
247	2.2-dimethyl-3-(methyloxy)propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-171-
2.,	l
248	3-hydroxy-2,2-dimethylpropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-
	l v vi v rr t
249	$\frac{1-y[-1]H-\text{benzimida}20i-2-yi]\text{carbaniate}}{\text{methyl } (5-\{2-[1-(5-\text{bromo}-2-\text{thienyl})\text{ethyl}]-1-\text{hydroxy}-3-\text{oxo}-2,3-\text{dihydro}-1H-\text{isoindol}-1-yi\}-1H-\text{methyl}}$
	l
250	methyl {5-[2-(4,5-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
251	methyl {5-[2-(3-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
252	methyl {5-[2-(3-chloro-2,4-airtuoropnenyi)-1-nydroxy-3-0x0-2,3-dinydro 177 isomethyl
	benzimidazol-2-yl} carbamate  N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
253	1 15 . A *3-
	yl}pent-4-ynamide methyl (6-{1-methyl-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
254	1
	methyl [5-(1-hydroxy-3-oxo-2-{3-[(1,1,2,2-tetrafluoroethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -
255	to trr   : - : J===  ? rd (Apple protection
	methyl {5-[1-hydroxy-3-oxo-2-(3-piperidin-4-ylphenyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
256	1
	methyl {5-[2-(3-ethenylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
257	
250	benzimidazol-2-yl}carbamate methyl (5-{2-[3-(dimethylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
258	
259	2 2-diffuoro-N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoliidoi-1-yl]-111-
239	
260	benzimidazol-2-yl}cyclopropanecarboxamide  N-ethyl-N-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
261	benzimidazol-2-yl jurea methyl {5-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate  N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-
262	
	yl}-4-[(phenylmethyl)oxy]butanamide N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
263	
	yl}-4-piperidin-1-ylbutanamide N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
264	
	yl}-4-(4-methylpiperazin-1-yl)butanaimte N-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
265	
	yl}butanamide
266	
2/7	benzimidazol-2-yl}carbamate methyl [5-(1-hydroxy-2-{3-[methyl(phenyl)amino]phenyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl
267	
268	methyl {5-[1-hydroxy-3-oxo-2-(phenylsulfonyl)-2,3-dihydro-1H-isoindoi-1-yi]-1H-
200	1 · · · · · · · · · · · · · · · · · · ·
269	methyl {5-[(2-{[(phenylamino)carbonyl]amino}phenyl)carbonyl]-1 <i>H</i> -benzimidazol-2-
209	
270	yl}carbamate   yl}carbamate   methyl (5-{[2-({[(phenylmethyl)oxy]carbonyl}amino)phenyl]carbonyl}-1H-benzimidazol-2-
1 2,3	Neg-hamate
271	methyl [5-({2-[(2-phenylhydrazino)carbonyl]phenyl}carbonyl)-1H-benzimidazol-2-yl]carbama
272	methyl {5-[(2-{[(phenyloxy)amino]carbonyl}phenyl)carbonyl]-1H-benzimidazol-2-yl}carbama
1 212	but-2-yn-1-yl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	1 - 1 - 1 - 1 (6 (3 /4 fluorophopy)) - 1-NVAFAYV- 1-AXA-2-1-HIIIVUIU-171-13VIIIVVI 1711 ***

	Table 6. Representative Raf Inhibitors
Entry	Name
274	N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl}-3-piperidin-1-ylpropanamide
275	N-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl}propanamide
276	N-(4-fluorophenyl)-2-{[2-(pent-4-ynoylamino)-1H-benzimidazol-6-yl]carbonyl}benzamide
277	4-(diethylamino)-N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl}butanamide
278	N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
279	3-piperidin-1-ylpropyl (6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-ylj-
280	3-(4-methylpiperazin-1-yl)propyl {6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-
281	methyl {5-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
282	methyl (5-[2-(3-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
283	2-piperidin-1-ylethyl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
284	methyl {5-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
285	methyl {5-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
286	N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazoi-2-
287	N-{5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazoi-2-
288	N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl}-4-piperidin-1-ylbutanamide
289	methyl [6-(12-[(phenylcarbonyl)aminolphenyl]carbonyl)-1H-benzimidazol-2-yl]carbamate
290	methyl {5-[1-hydroxy-2-(3-morpholin-4-ylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
291	2-(dimethylamino)ethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-17-
292	2-(diethylamino)ethyl (5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-171-
293	2-piperidin-1-ylethyl (5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-171-isoliido
294	1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate  3-piperidin-1-ylpropyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
295	2-piperidin-1-ylethyl (6-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
296	methyl (6-[2-(3-bromophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-1-yl]-1H
297	2-[methyl(phenylmethyl)amino]ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
298	methyl {5-[1-hydroxy-3-oxo-2-(3-pyrrolidin-1-ylphenyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
299	methyl (5-[2-(5-chloro-2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-1-yl]-1H
300	methyl {5-[1-hydroxy-3-oxo-2-(pyπolidin-2-ylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
301	methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-3-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
302	(1-methylpiperidin-2-yl)methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
	1H-isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate
303	[(2S)-1-methylpyrrolidin-2-yl]methyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
	dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate
304	octahydro-2 <i>H</i> -quinolizin-1-ylmethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
	lanata arriadal tallital Manaimidazola/aVISCarbamaic
305	methyl {5-[2-(5-bromo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-1-yi]-1H-
202	[ 1
306	5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1,3-dihydro-2 <i>H</i> -
300	l
207	methyl {5-[2-(3-bromo-2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
307	1
	2-morpholin-4-ylethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -
308	1
	(1-methylpiperidin-3-yl)methyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
309	
	1H-isoindol-1-yl]-1H-benzimidazoi-2-yl]cardaniate methyl (5-{2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-
310	
	1H-benzimidazol-2-yl)carbamate methyl [5-(2-{3-[cyclohexyl(methyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-
311	l a mark to the later of the components
	8-azabicyclo[3.2.1]oct-3-ylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
312	dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	dihydro-1H-isomdol-1-ytj-1H-ochzimidazor 2 yij carbamate
313	methyl {6-[1-(3-bromophenyl)-5-oxopyπolidin-2-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	(1-methylpiperidin-4-yl)methyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol
314	
	1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 -
315	
	1H-benzimidazol-2-yl}amino)carbonyijoxyjmetryjpperidin-1-bydroxy-3-oxo-2,3-dihydro- (1-methylpiperidin-4-yl)methyl (5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
316	
	1H-isoindol-1-yl]-1H-benzimidazol-2-yl}caroamate 2-(1-methylpiperidin-4-yl)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
317	1H-isoindol-1-yl]-1H-benzimidazol-2-yl} carbamate
	1H-isoindol-1-yl]-1H-benzimidazol-2-yl}caroamate methyl ({6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
318	
	benzimidazol-2-yl}amino)(oxo)acetate  N-(5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
319	
	benzimidazol-2-yl)-4-piperidin-1-yibutanamide methyl {6-[2-(3-bromophenyl)-1-methyl-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol
320	
	2-yl}carbamate  4-(diethylamino)but-2-yn-1-yl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
321	4-(diethylamino)but-2-yn-1-yi {6-[2-(3-chloro-2-huorophonyi) i ay ar wy
	1H-isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate
322	1H-isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate methyl {5-[2-(3-chloro-2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H
	benzimidazol-2-yl}carbamate 2-(2-oxopyrrolidin-1-yl)ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1/-
323	2-(2-oxopyrrolidin-1-yl)ethyl (6-12-(3-chioro-2-huorophenyl)-1-ydioxy 3 oxo 2,6
	isoindol-1-yl]-1H-benzimidazol-2-yl]carbamate
324	isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate 2-(2,5-dioxopyrrolidin-1-yl)ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro
325	2 2 3 3-tetrafluorocyclobutyl {5-[2-(3-chloro-2-fluoropnenyl)-1-flydfoxy-3-0x0-2,3-diffydio
رعر	
226	1-acetyl-N-{5-{2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-177-isomdoi-1-yt}-17
326	i
205	N-{5-12-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-17-isolidol-1-yij-17-
327	
<del></del>	benzimidazol-2-yl}cyclobutanecarboxamide methyl [5-(2-{3-[ethyl(phenyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-
328	
	N_16-12_(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-17-isoindul-1-yij-17-
329	benzimidazol-2-yl}-2,2-difluorocyclopropanecarboxamide

	Table 6. Representative Raf Inhibitors
Entry	Name
	cyclobutyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
330	1 to : : 1 1 2 1) conhomete
221	2,2-difluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
331	vII-1 W-benzimidazol-2-vI) carbamate
222	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyridin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-
332	dibudgo 1 H-isoindol-legge
222	1-methylethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
333	1 W honzimidazol-2-vi}carhamate
334	cyclopropylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
334	vII_1 // henzimidazol-2-vI}carhamate
335	N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
333	Leading development 2 will evelop represent the sample
336	2-(methyloxy)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-
330	1 1 17 Landimidated 2 ultrachamate
337	tetrahydrofuran-2-ylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-0x0-2,3-dinydro-17-
331	install to the little bearing devoloped by the control of the cont
338	N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
550	1 t · · · · · · · · · · · · · · · · · ·
339	methyl {6-[2-(3-chloro-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
337	1 1.11 tU benzimidezel-2-yl\carbamate
340	ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-17-isoindol-1-yl]-17-
540	1
341	2-fluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
<b>541</b>	t zz tiida-at 2l) apphomete
342	methyl (5-{1-hydroxy-3-oxo-2-[2-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
J.2	1
343	N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
J. <b>J</b>	benzimidazol-2-yl}-N,N-diethylpentanediamide
344	cyclobutylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
2	13 1771imidamal 7 villopehamate
345	2,2,2-trifluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
	1-yl]-1H-benzimidazol-2-yl}carbamate
346	methyl (5-{2-[3-(1,1-dimethylethyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate
347	methyl (6-[2-(3-chloro-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
	yl]-1H-benzimidazol-2-yl}carbamate
348	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(phenylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-
	1H-isoindol-1-one
349	methyl {6-[4,7-dichloro-2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
<u></u>	1-yl]-1H-benzimidazol-2-yl}carbamate
350	phenylmethyl 2-[(2-{[(ethyloxy)carbonyl]amino}-1,3-benzoxazol-5-yl)carbonyl]benzoate
261	methyl {5-[2-(5-chloro-3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
351	
262	methyl {5-{2-(5-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-17-isoindoi-1-yij-
352	
353	methyl {5-[2-(3-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-1-yij-
درد	laret : .:
354	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1H-benzimidazoi-3-yl]-2,3-
""	1 4 4 4 4 7 4 4 - 1 1
355	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dinydro-177-isoliido
	1
356	1-yl]-1 <i>H</i> -benzimidazoi-2-yl}caroamate 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(1,3-thiazol-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3
""	13   1   17   insight   1   nna
357	ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1,3-
~~~	benzoxazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
358	methyl {5-[2-(5-chloro-3-iodo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
220	service 1 .: 3 1 2 1) cochomate
359	methyl {5-[2-(3-ethyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
229	1 1 1 2 - 1)
3.60	methyl {5-[2-(5-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
360	
	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrazin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-
361	171   177     - - - - - - -
	methyl (5-[2-(2-fluoro-3-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
362	l
	methyl {6-[2-(5-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
363	la i i i 15 15
	benzimidazol-2-yl}carbamate 2-(3-ethynyl-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1H-benzimidazol-5-yl]-2,3-
364	2-(3-ethynyl-2-fluoropnenyl)-3-nydroxy-3-[2-(pyrimidin-2-yidinind)
	dihydro-1 <i>H</i> -isoindol-1-one methyl {5-[2-(2,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
365	
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethenyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
366	methyl {5-[2-(3-ethenyl-2-fluorophenyl)-1-nydroxy-3-0x0-2,3-diffydro-177 isomiset y y
	benzimidazol-2-yl}carbamate
367	benzimidazol-2-yl}carbamate methyl (6-{2-[2-fluoro-3-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-
507	
368	1H-benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[2-methyl-5-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-
200	
	1H-benzimidazol-2-yl)carbamate methyl {5-[2-(3-ethynyl-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-
369	
	yl]-1H-benzimidazol-2-yl}caroamate methyl {5-[2-(2-fluoro-3-prop-1-yn-1-ylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]
370	
	1H-benzimidazol-2-yl}carbamate methyl {5-[2-(5-chloro-2-methylphenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-
371	
	yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
372	methyl (3-12-(3-ethylphenyl) - ") = ""
	benzimidazol-2-yl}carbamate  3-hydroxy-2-[3-(methyloxy)phenyl]-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-
373	3-hydroxy-2-[3-(methyloxy)phenylj-3-[2-(pylinham 2 ylamina)
	dihydro-1 <i>H</i> -isoindol-1-one 3-hydroxy-2-(3-methylphenyl)-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-
374	
	1 <i>H</i> -isoindol-1-one 2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-
375	2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-111 ostalania
3,3	dihydro-1H-isoindol-1-one
376	dihydro-1 <i>H</i> -isoindol-1-one methyl (6-[2-(5-chloro-2-methylphenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol
370	1-yl]-1H-benzimidazol-2-yl}carbamate
277	1-yl]-1H-benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethynyl-2-fluorophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol
377	
	2-yl}carbamate 2-(3-chloro-2-fluorophenyl)-3-{2-[(6-chloropyridazin-3-yl)amino]-1 <i>H</i> -benzimidazol-5-yl}-3-
378	
	2-(3-chloro-2-fluorophenyl)-4,7-difluoro-3-hydroxy-3-[2-(pyrintiam-2-yiainino)-121
379	benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	benzimidazol-5-yl]-2,3-dihydro-[ <i>H</i> -isoindol-1-one methyl {5-[2-(2-fluoro-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
380	
<u> </u>	benzimidazol-2-yl}carbamate methyl (5-{2-[2-fluoro-5-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-
381	
<u> </u>	1H-benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[5-methyl-2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}
382	methyl (3-{1-nydroxy-2-[3-iiicuty-2-(iiicuty-2-iii)/pi-2-y-1
	1H-benzimidazol-2-yl)carbamate  methyl {5-[2-(3-ethynyl-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
383	
707	benzimidazol-2-yl}carbamate benzimidazol-2-yl}-3-
384	benzimidazol-2-yl}carbamate 2-(3-chloro-2-fluorophenyl)-3-{2-[(5-chloropyrimidin-2-yl)amino]-1 <i>H</i> -benzimidazol-5-yl}-3-
704	
385	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-{2-[(4-methylpyrimioin-2-yl)aininoj-177-0612.iiiidaa
رەر	5-yl}-2,3-dihydro-1H-isoindol-1-one